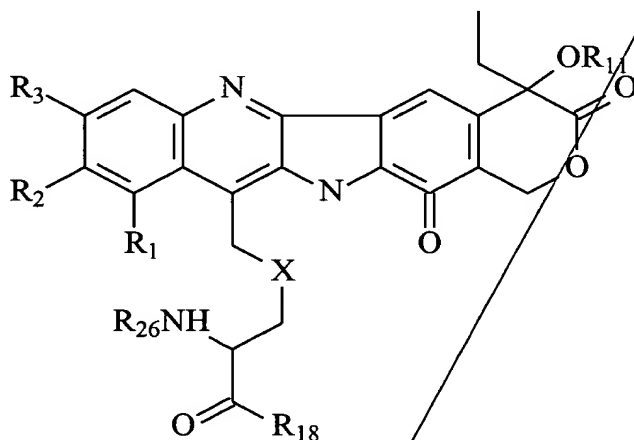


WHAT IS CLAIMED AS NEW AND DESIRED TO BE SECURED BY LETTERS
PATENT OF THE UNITED STATES IS:

1. A compound comprising:



wherein R_1 and R_2 , are each independently

NO_2 , NH_2 , H, F, Cl, Br, I, COOH , OH, O-C_{1-6} alkyl, SH, S-C_{1-6} alkyl, CN, NH-C_{1-6} alkyl, $\text{N(C}_{1-6} \text{ alkyl})_2$, CHO, C_{1-8} alkyl, N_3 ,

$-\text{Z-(CH}_2)_a\text{-N-((CH}_2)_b\text{OH)}_2$, wherein Z is selected from the group consisting of O, NH and S, and a and b are each independently an integer of 2 or 3,

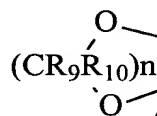
$-\text{Z-(CH}_2)_a\text{-N-(C}_{1-6} \text{ alkyl)}_2$ wherein Z is selected from the group consisting of O, NH and S, and a is an integer of 2 or 3,

$-\text{CH}_2\text{NR}_4\text{R}_5$, where (a) R_4 and R_5 are, independently, hydrogen, C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl- C_{1-6} alkyl, C_{2-6} alkenyl, hydroxy- C_{1-6} alkyl, C_{1-6} alkoxy- C_{1-6} COR₆ where R_6 is hydrogen, C_{1-6} alkyl, perhalo- C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{3-7} cycloalkyl- C_{1-6} alkyl, C_{2-6} alkenyl, hydroxy- C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy- C_{1-6} alkyl, or (b) R_4 and R_5 taken together with the nitrogen atom to which they are attached form a saturated 3-7 membered

heterocyclic ring which may contain a O, S or NR₇ group, where R₇ is hydrogen, C₁₋₆ alkyl, perhalo-C₁₋₆ alkyl, aryl, aryl substituted with one or more groups selected from the group consisting of C₁₋₆ alkyl, halogen, nitro, amino, C₁₋₆ alkylamino, perhalo-C₁₋₆ alkyl, hydroxy-C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkoxy-C₁₋₆ alkyl and -COR₈ where R₈ is hydrogen, C₁₋₆ alkyl perhalo-C₁₋₆ alkyl, C₁₋₆ alkoxy, aryl, and aryl substituted with one or more C₁₋₆ alkyl, perhalo-C₁₋₆ alkyl, hydroxy-C₁₋₆ alkyl, or C₁₋₆ alkoxy-C₁₋₆ alkyl groups;

R₃ is H; or

or R₂ and R₃ combine to form a ring

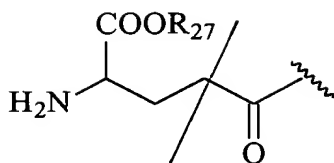


where R₉ and R₁₀ are each independently H or F and n is an integer of 1 or 2;

R₁₁ is H, or C(O)-(CH₂)_m-NR₁₂R₁₃, where m is an integer of 1-6 or -C(O)CHR₁₄NR₁₂R₁₃, where R₁₄ is the side chain of one of the naturally occurring α-amino acids, R₁₂ and R₁₃ are, independently, hydrogen, C₁₋₈ alkyl or -C(O)CHR₁₅NR₁₆R₁₇, where R₁₅ is the side chain of one of the naturally occurring α-amino acids and R₁₆ and R₁₇ are each independently hydrogen or C₁₋₈ alkyl;

R₁₈ is OR₁₉ or R₁₉OC(O)-(CH₂)_m-NR₂₀, or R₂₁OC(O)CHR₂₂NR₂₀, where R₁₉ is H or C₁₋₆ alkyl, m is an integer of 1-6, R₂₂ is the side chain of one of the naturally occurring α-amino acids, R₂₀ is hydrogen, C₁₋₈ alkyl or -C(O)CHR₂₃NR₂₄R₂₅, where R₂₃ is the side chain of one of the naturally occurring α-amino acids and R₂₄ and R₂₅ are each independently hydrogen or C₁₋₈ alkyl;

R₂₆ is H or



where R_{27} is H or C_{1-6} alkyl; and

X is S or O,

provided that R_{18} and R_{26} are not both H;

or a pharmaceutically acceptable salt thereof.

2. The compound of Claim 1, which is selected from the group consisting of 7-glutathionylmethyl-10,11-methylenedioxy-20(S)-CPT, 7-monoethylglutathionylmethyl-10,11-methylenedioxy-20(S)-CPT, 7-diethylglutathionylmethyl-10,11-methylenedioxy-20(S)-CPT, 7-cysteinyl(thio)methyl-10,11-methylenedioxy-20(S)-CPT, 7-cysteinyl(thio)methyl-10,11-methylenedioxy-20(S)-CPT, 7-cys- β -ala-methyl-10,11-methylenedioxy-20(S)-CPT, 7-glu-cys(thio)methyl-10,11-methylenedioxy-20(S)-CPT, 7-Glu-Cys(thio)methyl-10,11-MD-20(S)-CPT, 7-cys- β -ala-methyl-20(S)-CPT, 7-glutathionylmethyl-20(S)-CPT, 7-monoethylglutathionylmethyl-20(S)-CPT, 7-diethylglutathionylmethyl-20(S)-CPT, 7-cysteinyl(thio)methyl-20(S)-CPT and 7-cys-gly-methyl-20(S)-CPT.

3. The compound of Claim 1 wherein R_{27} is C_{1-6} alkyl.

4. A pharmaceutical composition comprising an effective amount to inhibit the growth of tumors or to treat leukemia of a compound Claim 1 and a pharmaceutically acceptable carrier.

5. A method of treating cancers susceptible to CPT in a mammal in need thereof, comprising administering to the mammal an effective amount for treating cancers susceptible to CPT of the camptothecin-peptide conjugate of Claim 1.

6. The method of Claim 1, wherein the cancer is a solid tumor.

7. The method of Claim 1, wherein the cancer is leukemia.

8. The method of Claim 1, wherein the mammal is a human.

9. A method for inhibiting the enzyme topoisomerase I, comprising contacting a DNA-topoisomerase I complex with the camptothecin-peptide conjugate of Claim 1.

10. A method for stabilizing the topoisomerase I-DNA cleavable complex, comprising contacting a DNA-topoisomerase I cleavable complex with the camptothecin-peptide conjugate of Claim 1.

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